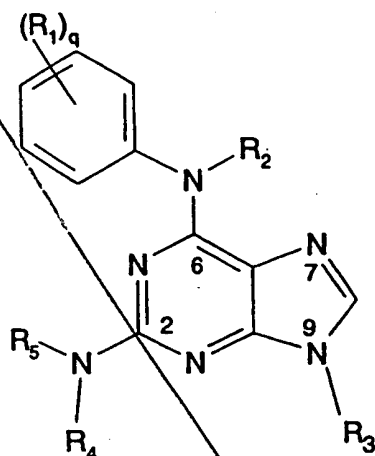


WHAT IS CLAIMED IS:

1. A 2-amino-6-anilino-purine derivative of the formula I



(I)

wherein

q is 1-5,

R<sub>1</sub> is

→ α) -S(=O)<sub>k</sub>-NR<sub>6</sub>R<sub>7</sub>, in which

k is 1 or 2,

wherein under the proviso that R<sub>6</sub> and R<sub>7</sub> cannot be simultaneously hydrogen

α1) R<sub>6</sub>, R<sub>7</sub> can be identical or different from one another and represent an aliphatic, carbocyclic, heterocyclic, carbocyclic-aliphatic or heterocyclic-aliphatic radical; hydrogen or lower aliphatic acyl; or

α2) R<sub>6</sub> and R<sub>7</sub> together are a substituted or unsubstituted alkylene or alkenylene radical, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen, or

→ β) N-(aryl lower alkyl)carbamoyl, or

→ γ) a radical of the formula -NH-S(=O)<sub>i</sub>-R<sub>8</sub>, in which

i is 1 or 2,

R<sub>8</sub> is an aliphatic, carbocyclic or heterocyclic radical; or

→ δ) a radical of the formula -NH-C(=O)-R<sub>9</sub>, in which

R<sub>9</sub> is alkoxy, aryloxy, alkenyl, alkynyl, heterocyclyl alkynyl, aryl alkynyl, heteroaryl alkynyl, alkynyloxy or aryl alkynyloxy, which in each case is unsubstituted or substituted;

05927302.084001

B1  
cont

$R_3$  is a lower aliphatic radical, which is unsubstituted or substituted by hydroxy, lower alkoxy, amino, lower alkylamino or N,N-di-lower alkylamino and

**R<sub>5</sub>, independently of R<sub>4</sub>, is as defined above for R<sub>4</sub>, with the exception of hydrogen, or  
b) R<sub>4</sub> and R<sub>5</sub> together are a substituted or unsubstituted alkylene or alkenylene radical  
having in each case not more than 15 C atoms, in which 1-3 C atoms can be replaced  
by oxygen, sulfur or nitrogen,**

2. A compound of the formula I according to claim 1, wherein q is 1-5,

 $\alpha) -S(=O)_k-NR_6R_7$ , in which

wherein under the proviso that R<sub>6</sub> and R<sub>7</sub> cannot be simultaneously hydrogen

α2) R<sub>6</sub> and R<sub>7</sub> together are a substituted or unsubstituted alkylene or alkenylene radical, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen, or

$\gamma$ ) a radical of the formula  $\text{-NH-S(=O)}_i\text{-R}_8$ , in which

**R<sub>8</sub> is an aliphatic, carbocyclic or heterocyclic radical; or**

δ) a radical of the formula  $\text{-NH-C(=O)-R}_9$ , in which

$R_9$  is alkoxy, aryloxy, alkenyl, alkynyl, aryl alkynyl, alkynyloxy or aryl alkynyloxy, which in each case is unsubstituted or substituted;

where, if more than one radical  $R_1$  is present in the molecule, these can be identical or different from one another,

$R_2$  is hydrogen, carbamoyl or N-lower alkyl-carbamoyl,

$R_3$  is a lower aliphatic radical, which is unsubstituted or substituted by hydroxy, lower alkoxy, amino, lower alkylamino or N,N-di-lower alkylamino and

a)  $R_4$  is hydrogen, amino, phenylamino, lower alkylamino, hydroxyl, phenoxy, lower alkoxy, acyl having 1-30 C atoms, a substituted aliphatic hydrocarbon radical having not more than 29 C atoms, a substituted carbocyclic or carbocyclic-aliphatic radical having not more than 29 C atoms or a heterocyclic or heterocyclic-aliphatic radical having not more than 20 C atoms and not more than 9 heteroatoms and

$R_5$ , independently of  $R_4$ , is as defined above for  $R_4$ , with the exception of hydrogen, or

b)  $R_4$  and  $R_5$  together are a substituted or unsubstituted alkylene or alkenylene radical having in each case not more than 15 C atoms, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen,

or a salt thereof.

3. A compound of the formula I according to claim 1, wherein

q is 1-5,

$R_1$  is

$\alpha$ )  $-S(=O)_k-NR_6R_7$ , in which

k is 1 or 2,

wherein under the proviso that  $R_6$  and  $R_7$  cannot be simultaneously hydrogen

$\alpha 1$ )  $R_6$ ,  $R_7$  can be identical or different from one another and represent an aliphatic, carbocyclic, heterocyclic, carbocyclic-aliphatic or heterocyclic-aliphatic radical; hydrogen or lower aliphatic acyl; or

$\alpha 2$ )  $R_6$  and  $R_7$  together are a substituted or unsubstituted alkylene or alkenylene radical, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen;

$\beta$ ) N-(aryl lower alkyl)carbamoyl, or

$\gamma$ ) a radical of the formula  $-NH-S(=O)_i-R_8$ , in which

i is 1 or 2,

$R_8$  is an aliphatic, carbocyclic or heterocyclic radical; or

09927322-081001

B1  
cont

δ) a radical of the formula  $\text{-NH-C(=O)-R}_9$ , in which

$R_9$  is alkoxy, aryloxy, alkenyl, alkynyl, heterocyclyl alkynyl, aryl alkynyl, heteroaryl alkynyl, alkynyloxy or aryl alkynyloxy, which in each case is unsubstituted or substituted;

where, if more than one radical  $R_1$  is present in the molecule, these can be identical or different from one another,

a)  $R_4$  is hydrogen, amino, phenylamino, lower alkylamino, hydroxyl, phenoxy, lower alkoxy, acyl having 1-30 C atoms, a substituted aliphatic hydrocarbon radical having not more than 29 C atoms, a substituted carbocyclic or carbocyclic-aliphatic radical having not more than 29 C atoms or a heterocyclic or heterocyclic-aliphatic radical having not more than 20 C atoms and not more than 9 heteroatoms in case of  $\alpha$  as defined above and

$R_4$  is hydrogen, amino, phenylamino, lower alkylamino, hydroxyl, phenoxy, lower alkoxy, acyl having 1-30 C atoms, a substituted aliphatic hydrocarbon radical having not more than 29 C atoms, a substituted cycloaliphatic or carbocyclic-aliphatic radical having not more than 29 C atoms or a heterocyclic or heterocyclic-aliphatic radical having not more than 20 C atoms and not more than 9 heteroatoms in cases of  $\beta$ ,  $\gamma$  and  $\delta$  as defined above; and

$R_5$  is, with the exception of hydrogen and independently of  $R_4$ , in case of  $\alpha$  as defined above for  $R_4$  in case of  $\alpha$  and in cases of  $\beta$ ,  $\gamma$  and  $\delta$  as defined above for  $R_4$  in cases of  $\beta$ ,  $\gamma$  and  $\delta$ , or

b)  $R_4$  and  $R_5$  together are a substituted or unsubstituted alkylene or alkenylene radical having in each case not more than 15 C atoms, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen; or

$R_2$  is hydrogen, carbamoyl or N-lower alkyl-carbamoyl, and

$R_3$  is a lower aliphatic radical, which is unsubstituted or substituted by hydroxy, lower alkoxy, amino, lower alkylamino or N,N-di-lower alkylamino, or a salt thereof.

4. A compound of the formula I according to claim 1, wherein

q is 1-3,

$R_1$  is

$\alpha$ )  $\text{-S(=O)}_k\text{-NR}_6\text{R}_7$ , in which

09927322-081001

B1  
cont

*BI cont*  
i is 2,

wherein under the proviso that  $R_6$  and  $R_7$  cannot be simultaneously hydrogen

$\alpha 1$ )  $R_6$ ,  $R_7$  can be identical or different from one another and represent an aliphatic, carbocyclic, heterocyclic, carbocyclic-aliphatic or heterocyclic-aliphatic radical; or hydrogen; or

$\alpha 2$ )  $R_6$  and  $R_7$  together are a substituted or unsubstituted alkylene or alkenylene radical, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen, having in each case including the substituents not more than 20 C atoms, or

$\beta$ ) N-(aryl lower alkyl)carbamoyl, or

$\gamma$ ) a radical of the formula  $-NH-S(=O)_i-R_8$ , in which

i is 2, and

$R_8$  is an aliphatic, carbocyclic or heterocyclic radical; or

$\delta$ ) a radical of the formula  $-NH-C(=O)-R_9$ , in which

$R_9$  is alkoxy, aryloxy, alkynyl, heterocyclyl alkynyl, aryl alkynyl, heteroaryl alkynyl, alkynyloxy or aryl alkynyloxy, which in each case is unsubstituted or substituted;

where, if more than one radical  $R_1$  is present in the molecule, these can be identical or different from one another,

$R_2$  is hydrogen,

$R_3$  is a lower alkyl,

$R_4$  is

hydrogen, amino, phenylamino, lower alkylamino, hydroxyl, phenoxy or lower alkoxy; an acyl radical of the part formula  $Z-C(=W)-$ , in which W is oxygen, sulfur or imino and Z is hydrogen, hydrocarbyl  $R^0$ , hydrocarbyloxy  $R^0-O-$  or an amino group of the formula  $R_{11}(R_{12})N-$ , in which  $R^0$  in each case is  $C_1-C_4$ alkyl, hydroxy- $C_2-C_{14}$ alkyl, cyano- $C_1-C_4$ alkyl, carboxy- $C_1-C_4$ alkyl,  $C_1-C_4$ alkoxycarbonyl- $C_1-C_4$ alkyl,  $C_3-C_7$ alkenyl or phenyl and  $R_{11}$  and  $R_{12}$  independently of one another are each hydrogen, lower alkyl,  $\omega$ -amino-lower alkyl, lower alkylsulfonyl or phenyl; an aliphatic hydrocarbon radical having not more than 29 C atoms, which is substituted by halogen, amino, lower alkylamino,  $\omega$ -amino-lower alkylamino, lower alkanoylamino, benzoylamino, hydroxylamino, hydroxylimino, lower alkoxy-amino, phenyloxyamino, amino-cyclohexyl-amino-, amino-phenyl-amino-, carbamoyl-amino, (N-lower alkyl-carbamoyl)-amino, (N-[ $\omega$ -amino-lower alkyl]-carbamoyl)-amino, (N-phenyl-carbamoyl)-amino, thio, lower alkylthio, thiocarbamoyl, thioureido, N-lower

09927322-081004

B1  
cont

10

5

**R<sub>5</sub>, independently of R<sub>4</sub>, is as defined above for R<sub>4</sub>, with the exception of hydrogen, or R<sub>4</sub> and R<sub>5</sub> together are 1,2-ethylene, propane-1,3-diyl, butane-1,4-diyl, pentane-1,5-diyl, 3-(3-amino-propionyl)-3-aza-pentane-1,5-diyl, 1-aminomethyl-butan -1,4-diyl, 1-**

B'  
cont

or a salt thereof.

**q is 1-3,**

 $\alpha) -S(=O)_k-NR_6R_7$  in which

wherein under the proviso that R<sub>6</sub> and R<sub>7</sub> cannot be simultaneously hydrogen

**$\alpha$ 1)  $R_6, R_7$  can be identical or different from one another and represent an aliphatic, carbocyclic, heterocyclic, carbocyclic-aliphatic or heterocyclic-aliphatic radical; or hydrogen; or**

~~α2) R<sub>6</sub> and R<sub>7</sub> together are a substituted or unsubstituted alkylene or alkenylene radical, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen, having in each case including the substituents not more than 20 C atoms, or~~

**β) N-(aryl lower alkyl)carbamoyl, or**

γ) a radical of the formula  $\text{-NH-S(=O)}_i\text{-R}_8$ , in which

**i is 2,**

**R<sub>8</sub> is an aliphatic, carbocyclic or heterocyclic radical; or**

δ) a radical of the formula  $\text{-NH-C(=O)-R}_9$ , in which

**R<sub>9</sub> is alkoxy, phenoxy, alkynyl or aryl alkynyl which in each case is unsubstituted or substituted;**

where, if more than one radical  $R_1$  is present in the molecule, these can be identical or different from one another.

**R<sub>2</sub> is hydrogen,**

**R<sub>3</sub> is lower alkyl,**

**R<sub>4</sub> is hydrogen or C<sub>5</sub>-C<sub>7</sub> cycloalkyl, which is substituted by amino, hydroxy, lower alkoxy, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, aminocarbonyloxy or ureido;**

**R<sub>5</sub>, independently of R<sub>4</sub>, is as defined above for R<sub>4</sub>, with the exception of hydrogen.**

**6. A compound of the formula I according to claim 1, wherein**

q is 1-2,

R<sub>1</sub> is -S(=O)<sub>k</sub>-NR<sub>6</sub>R<sub>7</sub>, in which

k is 2,

wherein under the proviso that R<sub>6</sub> and R<sub>7</sub> cannot be simultaneously hydrogen

α1) R<sub>6</sub>, R<sub>7</sub> can be identical or different from one another and represent hydrogen;

C<sub>1</sub>-C<sub>12</sub> alkyl which is unsubstituted or substituted by hydroxy, lower alkoxy, halogen, amino, lower alkylamino, di-lower alkylamino, unsubstituted heteroaryl having not more than 10 carbon atoms and not more than 3 heteroatoms or aryl having not more than 14 carbon atoms which is unsubstituted or substituted by halogen, lower alkyl, lower alkoxy, phenoxy, lower alkoxycarbonyl, imidazolyl, morpholinyl, pyrrolidinyl, piperidinyl, piperazinyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl or lower alkyl which is substituted by halogen;

C<sub>3</sub>-C<sub>10</sub> cycloalkyl which is unsubstituted or substituted by hydroxy, amino, lower alkylamino, di-lower alkylamino, carbamoyl or lower alkylcarbamoyl; unsubstituted heteroaryl having not more than 20 carbon atoms and not more than 3 heteroatoms;

aryl having not more than 20 carbon atoms unsubstituted or substituted by halogen, lower alkyl, lower alkoxy, phenoxy, lower alkoxycarbonyl, imidazolyl, morpholinyl, pyrrolidinyl, piperidinyl, piperazinyl, amino, lower alkylamino, di-lower alkylamino, carbamoyl or lower alkyl which is substituted by halogen; or

α2) R<sub>6</sub> and R<sub>7</sub> together are a substituted or unsubstituted alkylene or alkenylene radical, in which 1-3 C atoms can be replaced by oxygen or nitrogen, having in each case including the substituents not more than 20 C atoms;

where, if more than one radical R<sub>1</sub> is present in the molecule, these can be identical or different from one another,

R<sub>2</sub> is hydrogen,

R<sub>3</sub> is lower alkyl,

R<sub>4</sub> is hydrogen or C<sub>5</sub>-C<sub>7</sub> cycloalkyl, which is substituted by amino, hydroxy, lower alkoxy, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, aminocarbonyloxy or ureido;

R<sub>5</sub>, independently of R<sub>4</sub>, is as defined above for R<sub>4</sub>, with the exception of hydrogen.

7. A compound of the formula I according to claim 1, wherein

0927322-081001

B1  
cont



β)  $R_1$  is N-(phenyl lower alkyl)carbonyl, wherein phenyl is unsubstituted or substituted by halogen, lower alkyl, hydroxy, lower alkoxy, phenoxy, amino, lower alkylamino, di-lower alkylamino or lower alkyl which is substituted by halogen; or

γ)  $R_1$  is a radical of the formula  $-NH-S(=O)_i-R_8$ , in which

i is 2,

$R_8$  is

lower alkyl, lower alkyl which is substituted by halogen;

$C_3-C_8$  cycloalkyl, which is unsubstituted or substituted by halogen, hydroxy, lower alkoxy, amino, lower alkylamino, di-lower alkylamino or carbonyl;

unsubstituted heteroaryl having not more than 20 carbon atoms and not more than 3 heteroatoms;

phenyl which is unsubstituted or substituted by halogen, lower alkyl, hydroxy, lower alkoxy, amino, lower alkylamino, di-lower alkylamino or lower alkyl which is substituted by halogen; or

δ)  $R_1$  is a radical of the formula  $-NH-C(=O)-R_9$ ,

$R_9$  is

alkoxy, phenoxy, alkynyl, which is unsubstituted or substituted by tri(lower alkyl)silyl; heteroaryl alkynyl, wherein the heteroaryl moiety comprises one or two heteroatoms selected from the group consisting of nitrogen, sulfur and oxygen, and which radical is unsubstituted or substituted by halogen, hydroxy, lower alkyl, lower alkoxy, phenyl, amino, lower alkylamino, di-lower alkylamino or lower alkyl which is substituted by halogen; heterocyclyl alkynyl, wherein the heterocyclyl moiety comprises one or two heteroatoms selected from the group consisting of nitrogen, sulfur and oxygen, and which radical is unsubstituted or substituted by halogen, hydroxy, lower alkyl, lower alkoxy, phenyl, amino, lower alkylamino, di-lower alkylamino or lower alkyl which is substituted by halogen; or phenyl alkynyl, which is unsubstituted or substituted by halogen, hydroxy, lower alkyl, lower alkoxy, phenyl, amino, lower alkylamino, di-lower alkylamino or lower alkyl which is substituted by halogen;

where, if more than one radical  $R_1$  is present in the molecule, these can be identical or different from one another,

$R_2$  is hydrogen,

$R_3$  is lower alkyl,

0927322.081001

B1  
cont

B1  
cont

R<sub>4</sub> is hydrogen or C<sub>5</sub>-C<sub>7</sub> cycloalkyl, which is substituted by amino, hydroxy, lower alkoxy, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, aminocarbonyloxy or ureido;

R<sub>5</sub>, independently of R<sub>4</sub>, is as defined above for R<sub>4</sub>, with the exception of hydrogen.

8. A compound of the formula I according to claim 1, wherein

q is 1,

R<sub>1</sub> is

α) -S(=O)<sub>k</sub>-NR<sub>6</sub>R<sub>7</sub>, in which

k is 2,

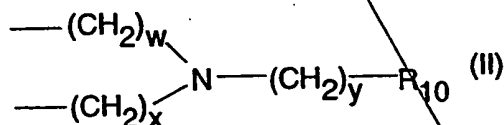
wherein under the proviso that R<sub>6</sub> and R<sub>7</sub> cannot be simultaneously hydrogen

α1) R<sub>6</sub>, R<sub>7</sub> can be identical or different from one another and represent hydrogen, C<sub>1</sub>-C<sub>8</sub> alkyl, hydroxy lower alkyl, phenyl unsubstituted or substituted by phenoxy, lower alkoxy, imidazolyl, lower alkyl, halogen, halogen lower alkyl, lower alkyloxycarbonyl, morpholinyl; lower alkyl substituted by phenyl, halogenphenyl, naphthyl, furanyl or pyridyl; C<sub>3</sub>-C<sub>6</sub> cycloalkyl unsubstituted or substituted by hydroxy; tetrahydronaphthyl or chinolinyl; or

α1) R<sub>6</sub> and R<sub>7</sub> together are an alkylene radical

α1.1) having from 4 up to and including 6 C atoms, in which 1 C atom can be replaced by oxygen; or

α1.2) a radical of the formula (II),



in which w is 2, x is 2, y is 0 or 1 and R<sub>10</sub> is hydrogen or phenyl, which is unsubstituted or substituted by halogen, trifluoromethyl or lower alkoxy,

β) unsubstituted or substituted phenyl lower alkylcarbamoyl, in which cas phenyl can be substituted by halogen, lower alkyl, lower alkoxy or trifluoromethyl; or

γ) a radical of the formula -NH-S(=O)<sub>i</sub>-R<sub>8</sub>,

in which i is 2, and

09927322.001001

$R_8$  is lower alkyl or phenyl substituted by lower alkyl or lower alkoxy; or

δ) a radical of the formula  $-NH-C(=O)-R_9$ , in which

$R_9$  is lower alkoxy, phenoxy, phenyl lower alkynyl, in which phenyl is unsubstituted or substituted by halogen, lower alkyl or lower alkoxy; lower alkynyl or tri(lower alkyl) silyl lower alkynyl,

where, if more than one radical  $R_1$  is present in the molecule, these can be identical or different from one another,

$R_2$  is hydrogen,

$R_3$  is lower alkyl,

$R_4$  is hydrogen, and

$R_5$  is cyclohexyl, which is substituted by amino, hydroxy or carbamoyl.

9. A compound of the formula I according to claim 1, wherein

q is 1-3,

$R_1$  is

a radical of the formula  $-NH-C(=O)-R_9$ , in which  $R_9$  is

alkoxy, phenoxy, alkynyl, which is unsubstituted or substituted by tri(lower alkyl)silyl; heteroaryl alkynyl, wherein the heteroaryl moiety is selected from the group consisting of pyridyl, pyrimidyl, thienyl, furyl, oxazolyl and thiazolyl and which radical is unsubstituted or substituted by halogen, hydroxy, lower alkyl, lower alkoxy, phenyl, amino, lower alkylamino, di-lower alkylamino or lower alkyl which is substituted by halogen; heterocyclyl alkynyl, wherein the heterocyclyl moiety is selected from the group consisting of piperidiny, pyrrolidiny, piperaziny, lower alkyl piperaziny, morpholiny and thiamorpholiny, and which radical is unsubstituted or substituted by halogen, hydroxy, lower alkyl, lower alkoxy, phenyl, amino, lower alkylamino, di-lower alkylamino or lower alkyl which is substituted by halogen; or phenyl alkynyl, which is unsubstituted or substituted by halogen, hydroxy, lower alkyl, lower alkoxy, phenyl, amino, lower alkylamino, di-lower alkylamino or lower alkyl which is substituted by halogen;

where, if more than one radical  $R_1$  is present in the molecule, these can be identical or different from one another,

$R_2$  is hydrogen,

$R_3$  is lower alkyl,

09927322.084001

R<sub>4</sub> is hydrogen or C<sub>5</sub>-C<sub>7</sub> cycloalkyl, which is substituted by amino, hydroxy, lower alkoxy, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, aminocarbonyloxy or ureido;

R<sub>5</sub>, independently of R<sub>4</sub>, is as defined above for R<sub>4</sub>, with the exception of hydrogen or a pharmaceutically acceptable salt thereof.

10. A compound of the formula I according to claim 1, wherein

q is 1-2,

R<sub>1</sub> is

a radical of the formula -NH-C(=O)-R<sub>9</sub>, in which R<sub>9</sub> is

alkoxy, phenoxy, alkynyl, which is unsubstituted or substituted by tri(lower alkyl)silyl; heteroaryl alkynyl, wherein the heteroaryl moiety is selected from the group consisting of pyridyl, pyrimidyl and thienyl, and which radical is unsubstituted or substituted by lower alkyl; heterocyclyl alkynyl, wherein the heterocyclyl moiety is selected from the group consisting of piperidiny and piperazinyl, and which radical is unsubstituted or substituted by lower alkyl; or phenyl alkynyl, which is unsubstituted or substituted by halogen, hydroxy, lower alkyl, lower alkoxy, phenyl, amino, lower alkylamino, di-lower alkylamino or lower alkyl which is substituted by halogen;

where, if more than one radical R<sub>1</sub> is present in the molecule, these can be identical or different from one another,

R<sub>2</sub> is hydrogen,

R<sub>3</sub> is lower alkyl,

R<sub>4</sub> is hydrogen or C<sub>5</sub>-C<sub>7</sub> cycloalkyl, which is substituted by amino, hydroxy, lower alkoxy, lower alkylamino, di-lower alkylamino, carbamoyl, lower alkylcarbamoyl, aminocarbonyloxy or ureido;

R<sub>5</sub>, independently of R<sub>4</sub>, is as defined above for R<sub>4</sub>, with the exception of hydrogen or a pharmaceutically acceptable salt thereof.

Sub D3  
11. A compound of the formula I according to claim 1 selected from the group consisting of  
*cis*-2-[6-(4-Butyl-aminosulfonyl-phenylamino)-9-ethyl-9*H*-purin-2-yl-amino]-cyclohexanecarboxylic acid amide  
*cis*-2-[9-Ethyl-6-[4-(3-methylbutyl)-aminosulfonyl-phenylamino]-9*H*-purin-2-yl-amino]-cyclohexanecarboxylic acid amide

*cis*-2-[9-Ethyl-6-(4-isobutyl-amino-sulfonyl-phenylamino)-9*H*-purin-2-yl-amino]-  
cyclohexanecarboxylic acid amide  
*cis*-2-[9-Ethyl-6-[4-(4-phenyl-piperazin-1-yl-sulfonyl)-phenylamino]-9*H*-purin-2-yl-amino]-  
cyclohexanecarboxylic acid amide  
4-[2-(*trans*-4-Amino-cyclohexylamino)-9-ethyl-9*H*-purin-6-yl-amino]-phenyl-*N*-(3-methyl-  
butyl)-sulfonamide  
4-[2-(*trans*-4-Amino-cyclohexylamino)-9-ethyl-9*H*-purin-6-yl-amino]-phenyl-*N*-butyl-  
sulfonamide  
4-[2-(*trans*-4-Amino-cyclohexylamino)-9-ethyl-9*H*-purin-6-yl-amino]-phenyl-*N*-isobutyl-  
sulfonamide  
4-[2-(*trans*-4-Amino-cyclohexylamino)-9-ethyl-9*H*-purin-6-yl-amino]-phenyl-*N*-cyclohexyl-  
sulfonamide  
*cis*-2-[6-(4-Cyclohexyl-aminosulfonyl-phenylamino)-9-ethyl-9*H*-purin-2-yl-amino]-  
cyclohexanecarboxylic acid amide  
*N*-2-(*trans*-4-Amino-cyclohexyl)-9-ethyl-*N*-6-[4-(piperidine-1-sulfonyl)-phenyl]-9*H*-purine-2,6-  
diamine  
*cis*-2-[9-Ethyl-6-[4-(piperidine-1-sulfonyl)-phenyl amino]-9*H*-purin-2-yl-amino]-  
cyclohexanecarboxylic acid amide  
*cis*-2-[6-[4-(*N*-Butyl-*N*-methyl-amino-sulfonyl)-phenylamino]-9-ethyl-9*H*-purin-2-yl-amino]-  
cyclohexanecarboxylic acid amide  
4-[2-(*trans*-4-Amino-cyclohexylamino)-9-ethyl-9*H*-purin-6-yl-amino]-phenyl-*N*-butyl-*N*-methyl-  
sulfonamide  
*cis*-2-[9-Ethyl-6-[4-(*N*-methyl-*N*-phenyl-aminosulfonyl)-phenylamino]-9*H*-purin-2-yl-amino]-  
cyclohexanecarboxylic acid amide  
4-[2-(*trans*-4-Amino-cyclohexylamino)-9-ethyl-9*H*-purin-6-yl-amino]-phenyl-*N*-methyl-*N*-  
phenyl-sulfonamide  
*N*-2-(*trans*-4-Amino-cyclohexyl)-9-ethyl-*N*-6-[4-(4-phenyl-piperazine-1-sulfonyl)-phenyl]-9*H*-  
purine-2,6-diamine  
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-phenyl-*N*-isobutyl-*N*-  
methyl-sulfonamide  
*trans*-4-(9-Ethyl-6-[4-[4-(4-fluoro-phenyl)-piperazine-1-sulfonyl]-phenylamino]-9*H*-purin-2-yl-  
amino)-cyclohexanol

Sub  
03

FOOTNOTES 22E/2660

Sub  
D<sup>3</sup>

00027322-081001

~~*trans*-4-(9-Ethyl-6-[4-[4-(3-trifluoromethyl-phenyl)-piperazine-1-sulfonyl]-phenylamino]-9*H*-  
purin-2-yl-amino)-cyclohexanol  
*trans*-4-(9-Ethyl-6-[4-[4-(2-methoxy-phenyl)-piperazine-1-sulfonyl]-phenylamino]-9*H*-purin-2-  
yl-amino)-cyclohexanol  
*N*-Cyclohexyl-4-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-phenyl)-  
*N*-methyl-sulfonamide  
*trans*-4-[9-Ethyl-6-[4-(pyrrolidine-1-sulfonyl)-phenylamino]-9*H*-purin-2-yl-amino]-  
cyclohexanol  
*trans*-4-[6-[4-(Azepane-1-sulfonyl)-phenylamino]-9-ethyl-9*H*-purin-2-yl-amino]-cyclohexanol  
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-(4-methoxy-  
phenyl)-*N*-methyl-sulfonamide  
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-(2-pyridin-2-  
yl-ethyl)-sulfonamide  
*trans*-4-[6-[4-(4-Benzyl-piperazine-1-sulfonyl)-phenylamino]-9-ethyl-9*H*-purin-2-yl-amino]-  
cyclohexanol  
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-(*trans*-4-  
hydroxy-cyclohexyl)-sulfonamide  
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-naphthalen-  
1-yl-methyl-sulfonamide  
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-heptyl-*N*-  
methyl-sulfonamide  
*N*-(3,3-Diphenyl-propyl)-4-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-  
amino]-phenyl-sulfonamide  
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-(1-methyl-3-  
phenyl-propyl)-sulfonamide  
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-(3-methyl-  
butyl)-sulfonamide  
*trans*-4-[9-Ethyl-6-[4-(piperidine-1-sulfonyl)-phenylamino]-9*H*-purin-2-yl-amino]-cyclohexanol  
*N*-(3-Chloro-benzyl)-4-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-  
phenyl-sulfonamide  
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-(3-imidazol-  
1-yl-phenyl)-sulfonamide)~~

*N*-(3,4-Dimethoxy-phenyl)-4-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-phenyl-sulfonamide  
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-(5-fluoro-2-methyl-phenyl)-sulfonamide  
*N*-(3,5-Dimethoxy-phenyl)-4-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-phenyl-9*H*-purin-6-yl-amino]-phenyl-sulfonamide  
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-methyl-*N*-phenyl-sulfonamide  
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-(5,6,7,8-tetrahydro-1-naphthyl)-sulfonamide  
*N*-Benzyl-4-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-phenyl-*N*-phenyl-sulfonamide  
4-[4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-phenyl-sulfonylamino]-benzoic acid propyl ester  
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-(4-morpholin-4-yl-phenyl)-sulfonamide  
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-quinolin-3-yl-sulfonamide  
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-(4-phenoxy-phenyl)-sulfonamide  
*N*-(2,4-Eimethyl-phenyl)-4-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-phenyl-sulfonamide  
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-*m*-tolyl-sulfonamide)  
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-*o*-tolyl-sulfonamide  
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-(3-trifluoromethyl-phenyl)-sulfonamide  
*N*-(3,4-Dichloro-phenyl)-4-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-phenyl-sulfonamide  
*N*-(3-Chloro-phenyl)-4-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-phenyl-sulfonamide

Sub  
03

09927322.081001

Sub 03  
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-phenyl-sulfonamide  
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-propyl-sulfonamide  
*N*-Butyl-4-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-methyl-sulfonamide  
*trans*-4-[9-Ethyl-6-[4-(4-phenyl-piperazine-1-sulfonyl)-phenylamino]-9*H*-purin-2-yl-amino]-cyclohexanol  
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-3-pyridylmethyl-sulfonamide  
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-2-furanylmethyl-sulfonamide  
*N*-Benzyl-*N*-ethyl-4-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-phenyl-sulfonamide  
*N*-Cyclohexyl-4-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-phenyl-sulfonamid  
*N*-Cyclopropyl-4-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-phenyl-sulfonamide  
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-(3-hydroxy-propyl)-sulfonamide  
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-isobutyl-sulfonamide  
*N,N*-Dibutyl-4-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-phenyl-sulfonamide  
4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-octyl-sulfonamide  
*trans*-4-[9-Ethyl-6-[4-(morpholine-4-sulfonyl)-phenyl-amino]-9*H*-purin-2-yl-amino]-cyclohexanol  
*trans*-4-[9-Ethyl-6-[4-(4-methyl-piperazine-1-sulfonyl)-phenyl-amino]-9*H*-purin-2-yl-amino]-cyclohexanol  
*N*-Butyl-4-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-sulfonamid

09927322-081001



4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-isopropyl-sulfonamide

*N*-Benzyl-4-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-sulfonamide

4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N*-methyl-sulfonamide

4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexyl-amino)-9*H*-purin-6-yl-amino]-phenyl-*N,N*-dimethyl-sulfonamide

*N*-Benzyl-3-[9-ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-benzamide

3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-*N*-(4-fluoro-benzyl)-benzamide

3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-*N*-(4-methyl-benzyl)-benzamide

3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-*N*-(4-methoxy-benzyl)-benzamide

3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-*N*-(4-trifluoromethyl-benzyl)-benzamide

*N*-(4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclohexylamino)-9*H*-purin-6-yl-amino]-phenyl)-methanesulfonamide

*N*-(4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl)-4-methyl-benzenesulfonamide

*N*-(4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl)-4-methoxy-benzenesulfonamide

*N*-(3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl)-methanesulfonamide

*N*-(3-[9-Ethyl-2-*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl)-4-methyl-benzenesulfonamide

*N*-(3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl)-4-methoxy-benzenesulfonamide

{4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl}-carbamic acid methyl ester

{4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl}-carbamic acid isobutyl ester

Sub  
D<sub>3</sub>

00027322.081001

~~4-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl]-carbamic acid phenyl ester~~

**~~{3-[9-Ethyl-2-(trans-4-hydroxy-cyclo-hexylamino)-9H-purin-6-yl-amino]-phenyl}-carbamic acid methyl ester~~**

~~{3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl}-carbamic acid isobutyl ester~~

~~(3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl)-carbamic acid phenyl ester~~

**N-(3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl)-3-trimethylsilylpropargylic acid amide**

N-{3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl}-3-phenylpropargylic acid amide)

**N-{3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl}-4,4-dimethyl-2-pentynylic acid amide**

N-{3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl}-3-(4-chlorophenyl)-propargylic acid amide

N-{3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl}-3-(4-fluorophenyl)-propargylic acid amide

**N-[3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9*H*-purin-6-yl-amino]-phenyl]-3-p-tolylpropargylic acid amide**

~~N-(3-[9-Ethyl-2-(*trans*-4-hydroxy-cyclo-hexylamino)-9H-purin-6-yl-amino]-phenyl)-3-(4-methoxyphenyl)-propargylic acid amide~~

**3-(4-Chloro-phenyl)-propynoic acid {3-[2-(4-hydroxy-cyclohexylamino)-9-isopropyl-9*H*-purin-6-ylamino]-phenyl}-amide**

**3-p-Tolyl-propynoic acid {3-[2-(4-hydroxy-cyclohexylamino)-9-isopropyl-9H-purin-6-ylamino]-phenyl}-amide**

**3-(4-Methoxy-phenyl)-propynoic acid {3-[2-(4-hydroxy-cyclohexyl-amino)-9-isopropyl-9H-purin-6-ylamino]-phenyl}-amide**

3-(4-Fluoro-phenyl)-propynoic acid {3-[2-(4-hydroxy-cyclohexylamino)-9-isopropyl-9H-purin-6-ylamino]-phenyl}-amide

**3-(Phenyl)-propynoic acid (3-[2-(4-hydroxy-cyclohexylamino)-9-isopropyl-9H-purin-6-ylamino]-phenyl)-amide**

Biphenyl-4-carboxylic acid {3-[2-(4-hydroxy-cyclohexylamino)-9-isopropyl-9H-purin-6-ylamino]-phenyl}-amide  
 3-m-Tolyl-propynoic acid {3-[9-ethyl-2-(4-hydroxy-cyclohexylamino)-9H-purin-6-ylamino]-phenyl}-amide  
 3-(3-Trifluoromethyl-phenyl)-propynoic acid {3-[9-ethyl-2-(4-hydroxy-cyclohexylamino)-9H-purin-6-ylamino]-phenyl}-amide  
 4,4-Dimethyl-pent-2-ynoic acid {3-[9-ethyl-2-(4-hydroxy-cyclohexylamino)-9H-purin-6-ylamino]-phenyl}-amide  
 3-(6-Methyl-pyridin-2-yl)-propynoic acid {3-[9-ethyl-2-(4-hydroxy-cyclohexylamino)-9H-purin-6-ylamino]-phenyl}-amide  
 3-(4-Methyl-pyrimidin-2-yl)-propynoic acid {3-[9-ethyl-2-(4-hydroxy-cyclohexylamino)-9H-purin-6-ylamino]-phenyl}-amide  
 N-{3-[9-Ethyl-2-(trans-4-hydroxy-cyclo-hexylamino)-9H-purin-6-yl-amino]-phenyl}-3-(2,6-dichlorophenyl)-propargylic acid amide  
 N-{3-[9-Ethyl-2-(trans-4-hydroxy-cyclo-hexylamino)-9H-purin-6-yl-amino]-phenyl}-3-(2-thiophenyl)-propargylic acid amide  
 N-{3-[9-Ethyl-2-(trans-4-hydroxy-cyclo-hexylamino)-9H-purin-6-yl-amino]-phenyl}-3-(2,5-dimethyl-phenyl)-propargylic acid amide  
 N-{3-[9-Ethyl-2-(trans-4-hydroxy-cyclo-hexylamino)-9H-purin-6-yl-amino]-phenyl}-3-(3,4-dimethyl-phenyl)-propargylic acid amide  
 4-Piperidin-1-yl-but-2-ynoic acid {3-[9-ethyl-2-(4-hydroxy-cyclohexyl-amino)-9H-purin-6-ylamino]-phenyl}-amide  
 4-(4-Methyl-piperazin-1-yl)-but-2-ynoic acid {3-[9-ethyl-2-(4-hydroxy-cyclohexylamino)-9H-purin-6-ylamino]-phenyl}-amide  
 and the pharmaceutical acceptable salts thereof.

12. A compound of the formula I according to any one of claims 1-11 or a pharmaceutically acceptable salt of such a compound for use in a method for therapeutic treatment of the human or animal body.

13. A pharmaceutical composition comprising a compound of the formula I according to any one of claims 1-11 or a pharmaceutically acceptable salt of such a compound together with a pharmaceutical carrier.

Amen.  
 a 2

100780-2262650

Sub  
 03

*Amen.*  
*B<sup>2</sup>/3*

14. A pharmaceutical composition for treatment of tumours in warm-blooded animals, including humans, comprising an antitumourally effective dose of a compound of the formula I according to ~~any one~~ of claims 1-11 or a pharmaceutically acceptable salt of such ~~a~~ compound together with a pharmaceutical carrier.

15. The use of a compound of the formula I according to any one of claims 1-11 or of a pharmaceutically acceptable salt of such a compound for the preparation of a pharmaceutical composition for use for chemotherapy of tumours or osteoporosis.

16. The use of a compound of the formula I according to any one of claims 1-11 or of a pharmaceutically acceptable salt of such a compound for chemotherapy of tumours or osteoporosis.

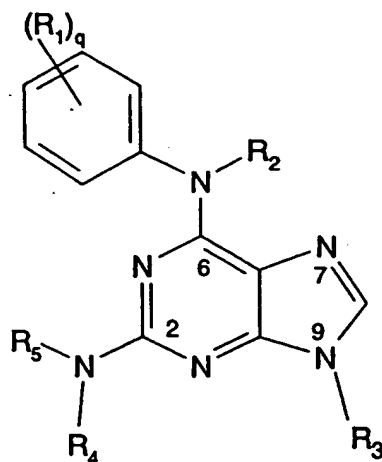
*Amen.*  
*A<sup>4</sup>*  
*B<sup>3</sup>*

17. A method for treatment of warm-blooded animals, including humans, in which an antitumourally effective dose of a compound of the formula I according to any one of claims 1-11 or of a pharmaceutically acceptable salt of such a compound is administered to such a warm-blooded animal suffering from a tumour disease.

18. A method for treatment of warm-blooded animals, including humans, in which a dose, which is effective against osteoporosis, of a compound of the formula I according to any one of claims 1-11 or of a pharmaceutically acceptable salt of such a compound is administered to such a warm-blooded animal suffering from osteoporosis.

*B<sup>3</sup>*  
*cont*

19. A process for the preparation of a 2-amino-6-anilino-purine derivative of the formula I



in which q is 1-5,

R<sub>1</sub> is

α) -S(=O)<sub>k</sub>-NR<sub>6</sub>R<sub>7</sub>, in which

k is 1 or 2,

wherein under the proviso that R<sub>6</sub> and R<sub>7</sub> cannot be simultaneously hydrogen

α1) R<sub>6</sub>, R<sub>7</sub> can be identical or different from one another and represent an aliphatic, carbocyclic, heterocyclic, carbocyclic-aliphatic or heterocyclic-aliphatic radical; hydrogen or lower aliphatic acyl; or

α2) R<sub>6</sub> and R<sub>7</sub> together are a substituted or unsubstituted alkylene or alkenylene radical, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen, or

β) N-(aryl lower alkyl)carbamoyl, or

γ) a radical of the formula -NH-S(=O)<sub>i</sub>-R<sub>8</sub>, in which

i is 1 or 2,

R<sub>8</sub> is an aliphatic, carbocyclic or heterocyclic radical; or

δ) a radical of the formula -NH-C(=O)-R<sub>9</sub>, in which

R<sub>9</sub> is alkoxy, aryloxy, alkenyl, alkynyl, heterocyclyl alkynyl, aryl alkynyl, heteroaryl alkynyl, alkynyloxy or aryl alkynyloxy, which in each case is unsubstituted or substituted;

where, if more than one radical R<sub>1</sub> is present in the molecule, these can be identical or different from one another,

R<sub>2</sub> is hydrogen, carbamoyl or N-lower alkyl-carbamoyl,

R<sub>3</sub> is a lower aliphatic radical, which is unsubstituted or substituted by hydroxy, lower alkoxy, amino, lower alkylamino or N,N-di-low r alkylamino and

B<sup>3</sup>  
cont

09927322-081001

B<sup>3</sup>  
cont

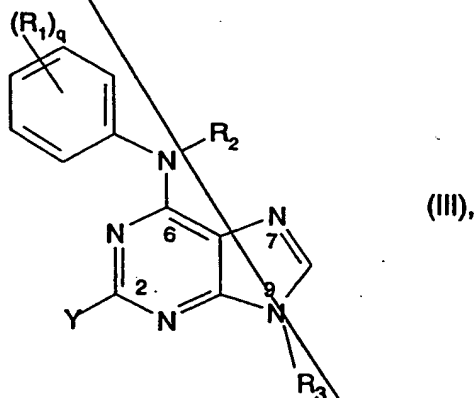
a) R<sub>4</sub> is hydrogen, amino, phenylamino, lower alkylamino, hydroxyl, phenoxy, lower alkoxy, acyl having 1-30 C atoms, a substituted aliphatic hydrocarbon radical having not more than 29 C atoms, a substituted carbocyclic or carbocyclic-aliphatic radical having not more than 29 C atoms or a heterocyclic or heterocyclic-aliphatic radical having not more than 20 C atoms and not more than 9 heteroatoms and

R<sub>5</sub>, independently of R<sub>4</sub>, is as defined above for R<sub>4</sub>, with the exception of hydrogen, or

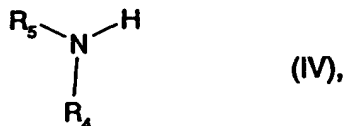
b) R<sub>4</sub> and R<sub>5</sub> together are a substituted or unsubstituted alkylene or alkenylene radical having in each case not more than 15 C atoms, in which 1-3 C atoms can be replaced by oxygen, sulfur or nitrogen,

or a salt thereof, which comprises

a) for the manufacture of a compound of formula I, wherein R<sub>1</sub> is -SO<sub>k</sub>NR<sub>6</sub>R<sub>7</sub>, reacting a compound of the formula III

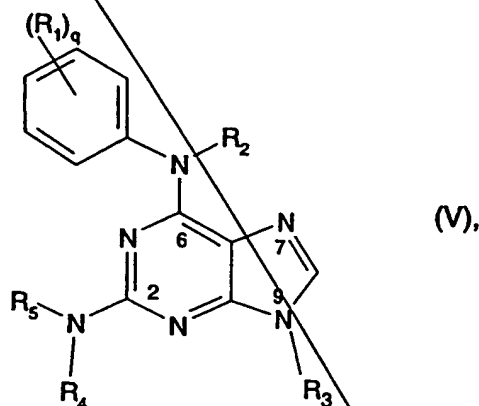


in which Y is a suitable leaving group, R<sub>1</sub> is -SO<sub>k</sub>NR<sub>6</sub>R<sub>7</sub> and the other substituents and symbols are as defined above for compounds of the formula I, free functional groups present in this compound, if necessary, being protected by easily detachable protective groups, with an amine of the formula IV



0927322-081001

b) for the manufacture of a compound of formula I, wherein R<sub>1</sub> is N-(aryl lower alkyl) carbamoyl, reacting a compound of the formula V



c) for the manufacture of a compound of formula I, wherein R<sub>1</sub> is a radical of the formula -NH-S(=O)<sub>i</sub>-R<sub>8</sub> or of the formula -NH-C(=O)-R<sub>9</sub>, reacting a compound of the formula V in which R<sub>1</sub> is -NH<sub>2</sub> and the other substituents and symbols are as defined above for compounds of the formula I, free functional groups present in this compound, if necessary, being protected by easily detachable protective groups, with a compound of the formula VI or VII,

B<sup>3</sup>  
cont

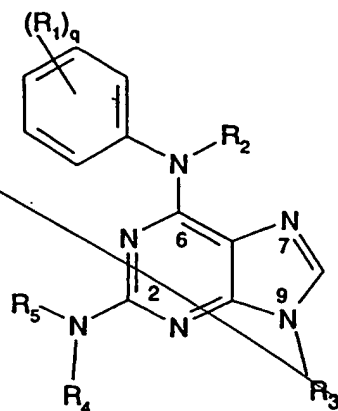
~~R<sub>8</sub> and R<sub>9</sub> are as defined above for compounds of the formula I, free functional groups present in R<sub>8</sub> or R<sub>9</sub>, if necessary, being protected by easily detachable protective groups, and detaching the protective groups present, and, after carrying out process a), b) or c), if necessary for the preparation of a salt, converting a resulting free compound of the formula I into a salt or, if necessary for preparation of a free compound, converting a resulting salt of a compound of the formula I into the free compound.~~

\*c1ccc(cc1)N(R2)c2nc(Y)c3nc(N(R3))c23 (III),

**21. A compound of the formula V**



B<sup>3</sup>  
cont



(V),

in which R<sub>1</sub> is CO<sub>2</sub>H and the other substituents and symbols are as defined in claim 1 for compounds of the formula I, free functional groups present therein being protected, if necessary, by easily detachable protective groups, or a salt thereof.

add B<sup>4</sup>

add  
c<sup>4</sup>

05927322-081001